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in Derwent Patent Files
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NEWS 11 Dec 17 Merged CEABA-VTB for chemical engineering and
biotechnology
NEWS 12 Dec 17 Corrosion Abstracts on STN
NEWS 13 Dec 17 SYNTHLINE from Prous Science now available on STN
NEWS 14 Dec 17 The CA Lexicon available in the CAPLUS and CA files
NEWS 15 Jan 05 AIDSLINE is being removed from STN
NEWS 16 Feb 06 Engineering Information Encompass files have new names
NEWS 17 Feb 16 TOXLINE no longer being updated

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* * * * * STN Columbus * * * * *

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Uploading c:\stnexp4\queries\067.str

L1 STRUCTURE UPLOADED

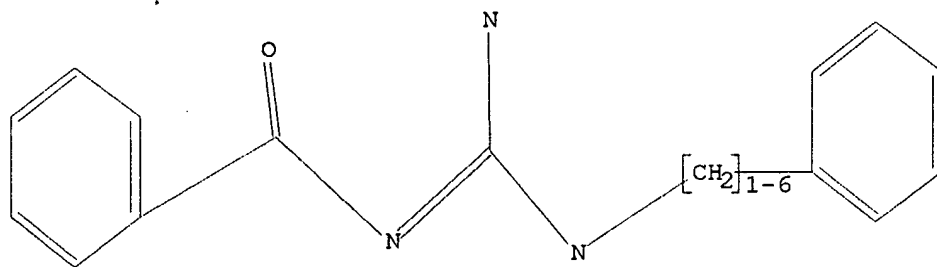
=> que L1

L2 QUE L1

=> d 12

L2 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

L2 QUE L1

=> s 12

SAMPLE SEARCH INITIATED 10:14:11 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 46 TO ITERATE

100.0% PROCESSED 46 ITERATIONS
SEARCH TIME: 00.00.02

7 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 514 TO 1326
PROJECTED ANSWERS: 7 TO 298

L3 7 SEA SSS SAM L1

=> search l2

ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:.

ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:full

FULL SEARCH INITIATED 10:14:24 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 969 TO ITERATE

100.0% PROCESSED 969 ITERATIONS 81 ANSWERS
SEARCH TIME: 00.00.04

L4 81 SEA SSS FUL L1

=> file caplus

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FULL ESTIMATED COST	135.42	135.87

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FILE COVERS 1967 - 26 Mar 2001 VOL 134 ISS 14
FILE LAST UPDATED: 25 Mar 2001 (20010325/ED)

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L1 STRUCTURE UPLOADED
L2 QUE L1
L3 7 S L2
L4 81 SEARCH L2 FULL

FILE 'CAPLUS' ENTERED AT 10:14:32 ON 26 MAR 2001

=> s 14

L5 17 L4

=> d fbib ab hitstr 1-17

L5 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2001 ACS

AN 1999:282194 CAPLUS

DN 130:325015

TI Preparation of acylguanidines for the treatment or prophylaxis of neurological injury and neurodegenerative disorders

IN Durant, Graham J.; Padmanabhan, Seetharamaiyer

PA Cambridge Neuroscience, Inc., USA

SO PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9920599	A1	19990429	WO 1998-US22309	19981020
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	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
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AU	9913626	A1	19990510	AU 1999-13626	19981020
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				US 1997-64830	19971021
				WO 1998-US22309	19981020

OS MARPAT 130:325015

AB The title compds. [I (R = (un)substituted cyclic alkyl, carbocyclic aryl, alkylaryl, etc.; R1, R2 = H, alkyl, alkenyl, etc.; X = a bond, (un)substituted alkylene, alkenylene, etc.; R3 = (un)substituted cyclic alkyl, carbocyclic aryl, alkylaryl, etc.), II (R and R1 as above; R2, R3

= H, halo, OH, etc.; W = (un)substituted methylene, S, O, etc.; m = 0-2; n

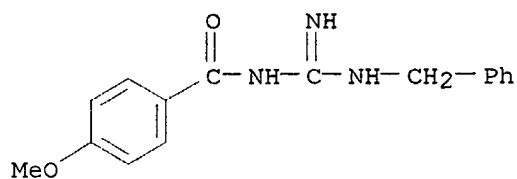
= 0-4), III (R, R1-R3 as above; Y = (un)substituted methylene, S, O, etc.; m, n = 0-4), etc.], particularly useful for the treatment or prophylaxis of neurol. injury and neurodegenerative disorders, were prep'd. Thus, treatment of 4-methylbenzoyl chloride with 2-methyl-2-thiopseudourea

sulfate in 4% NaOH followed by reaction of the resulting N-(4-methylbenzoyl)-S-methylisothioureia with phenylbutylamine in the presence of Et3N afforded 84% IV.HCl which showed 75% seizure inhibition in the DBA/2 mouse model (mouse audiogenic assay) at 20 mg/kg.

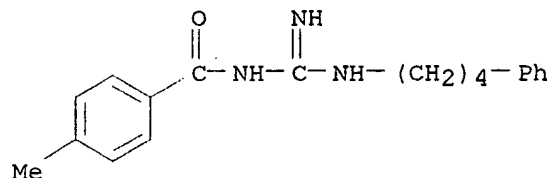
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 223687-88-5P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of acylguanidines for the treatment or prophylaxis of neurol. injury and neurodegenerative disorders)

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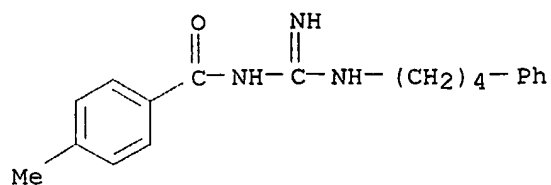


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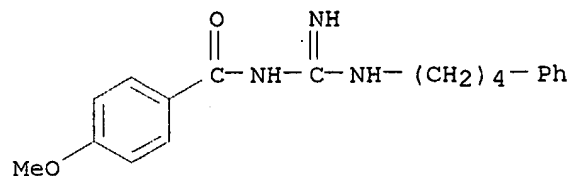


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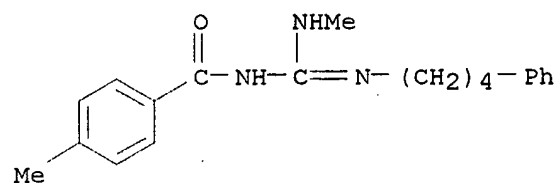


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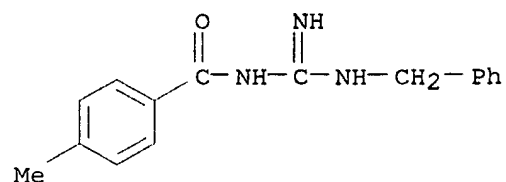
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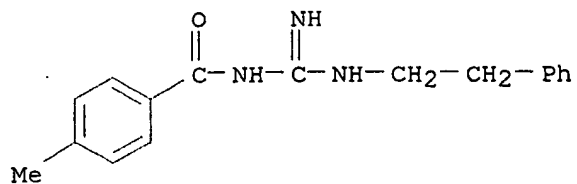


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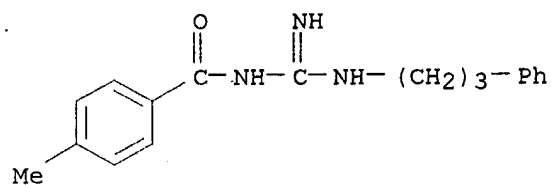
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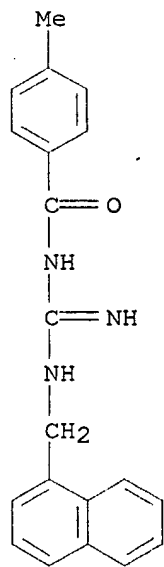
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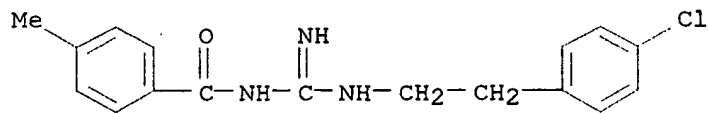
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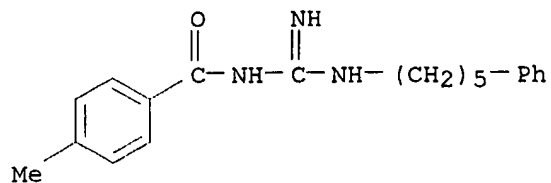
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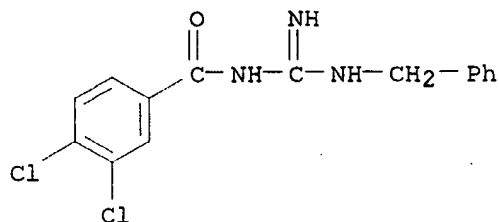
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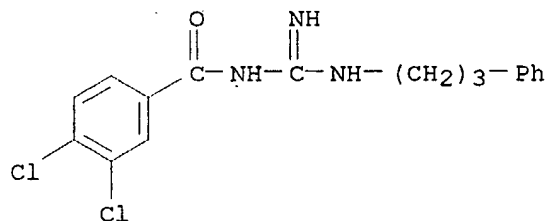
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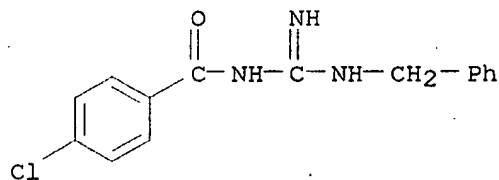
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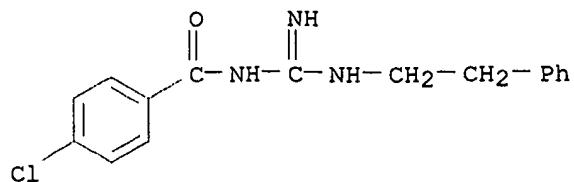
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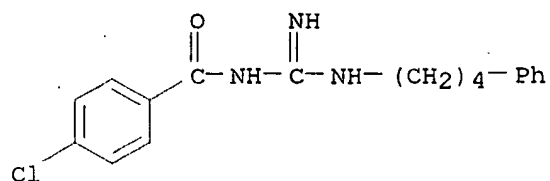
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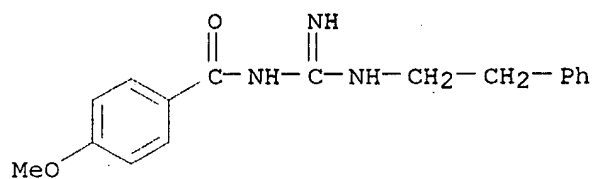
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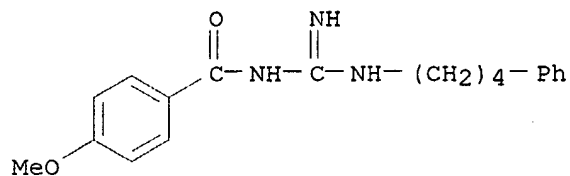
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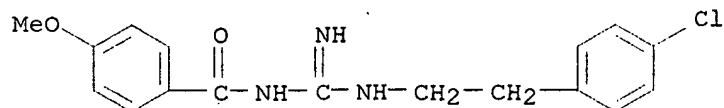
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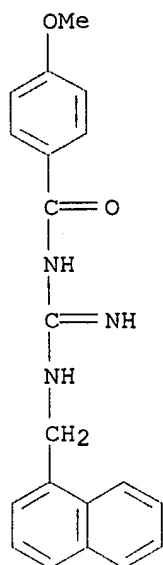


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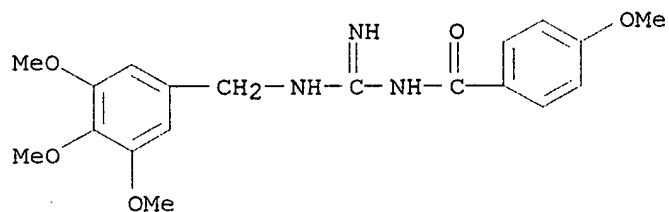
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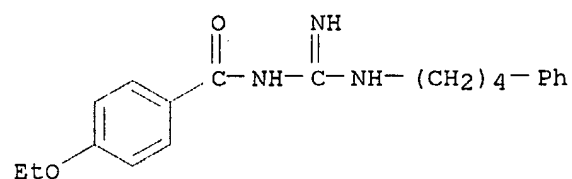
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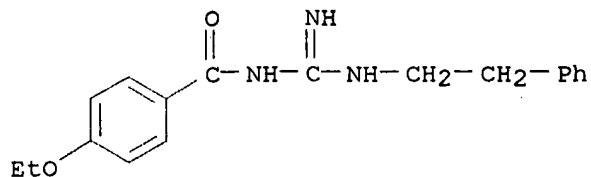
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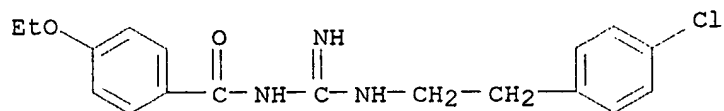
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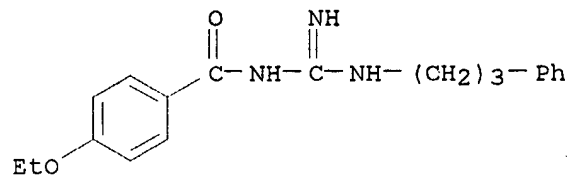
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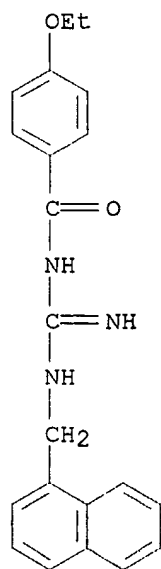
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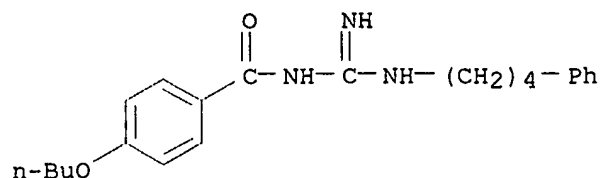
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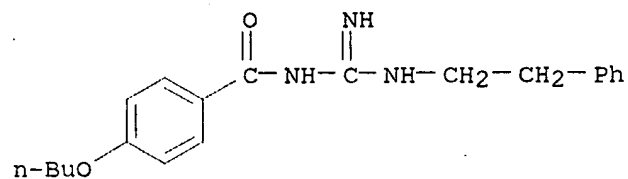


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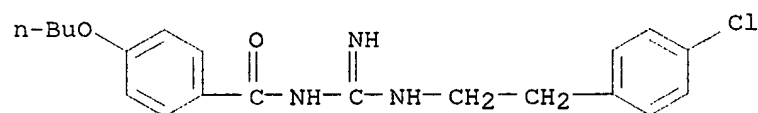
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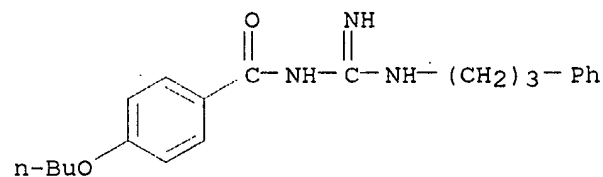
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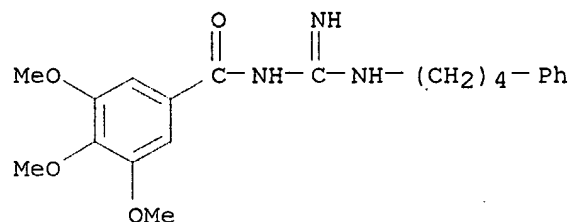
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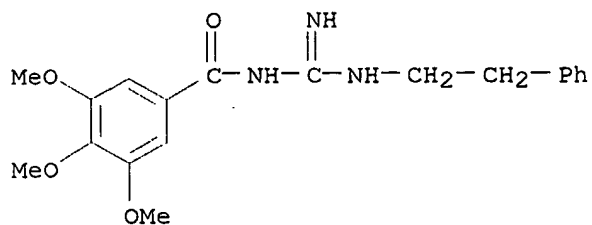


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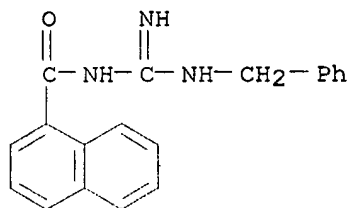
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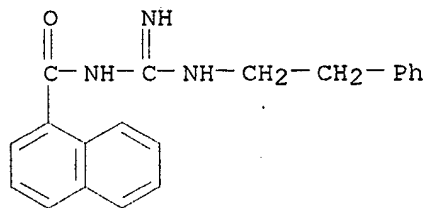
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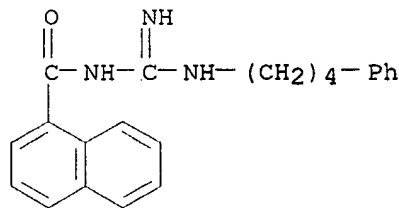
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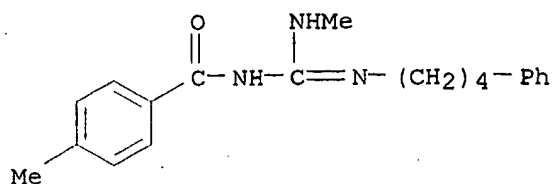
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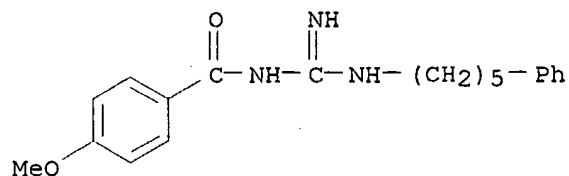
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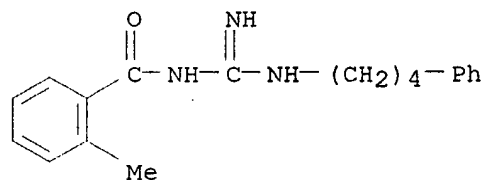
RN 223687-17-0 CAPLUS

CN Benzamide, N-[imino[(5-phenylpentyl)amino]methyl]-4-methoxy- (9CI) (CA INDEX NAME)



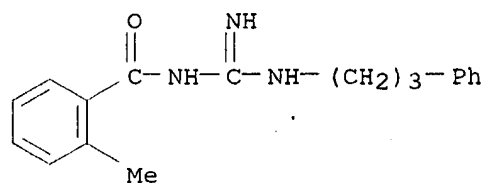
RN 223687-19-2 CAPLUS

CN Benzamide, N-[imino[(4-phenylbutyl)amino]methyl]-2-methyl- (9CI) (CA INDEX NAME)



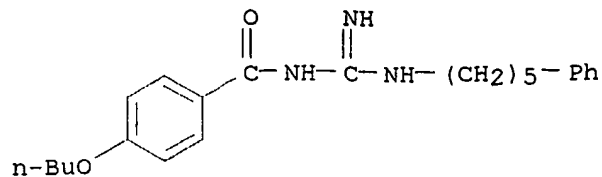
RN 223687-25-0 CAPLUS

CN Benzamide, N-[imino[(3-phenylpropyl)amino]methyl]-2-methyl- (9CI) (CA INDEX NAME)



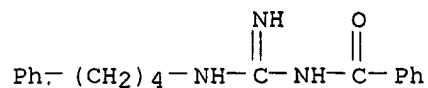
RN 223687-27-2 CAPLUS

CN Benzamide, 4-butoxy-N-[imino[(5-phenylpentyl)amino]methyl]- (9CI) (CA INDEX NAME)



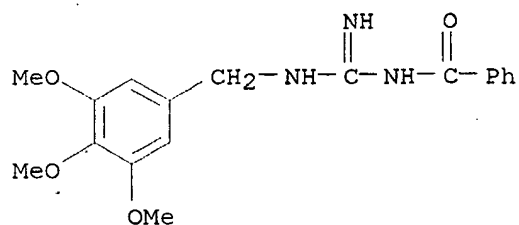
RN 223687-61-4 CAPLUS

CN Benzamide, N-[imino[(4-phenylbutyl)amino]methyl]- (9CI) (CA INDEX NAME)



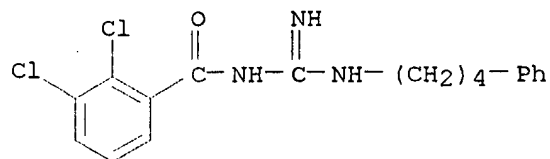
RN 223687-63-6 CAPLUS

CN Benzamide, N-[imino[(3,4,5-trimethoxyphenyl)methyl]amino]methyl]- (9CI)
(CA INDEX NAME)



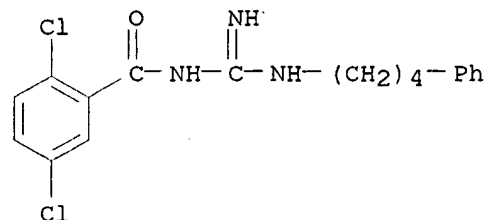
RN 223687-84-1 CAPLUS

CN Benzamide, 2,3-dichloro-N-[imino[(4-phenylbutyl)amino]methyl]- (9CI) (CA INDEX NAME)



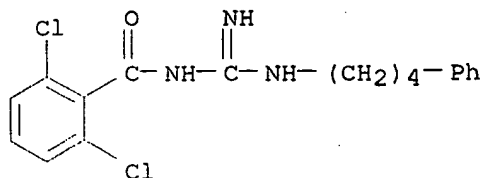
RN 223687-85-2 CAPLUS

CN Benzamide, 2,5-dichloro-N-[imino[(4-phenylbutyl)amino]methyl]- (9CI) (CA INDEX NAME)



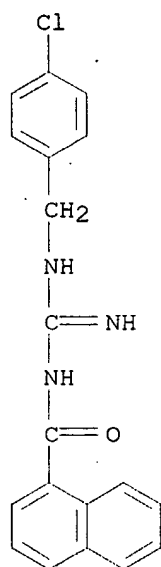
RN 223687-86-3 CAPLUS

CN Benzamide, 2,6-dichloro-N-[imino[(4-phenylbutyl)amino]methyl]- (9CI) (CA INDEX NAME)



RN 223687-88-5 CAPLUS

CN 1-Naphthalenecarboxamide, N-[[[(4-chlorophenyl)methyl]amino]iminomethyl]-
(9CI) (CA INDEX NAME)



RE.CNT 19

RE

- (1) Bayer; DE 2545647 A1 1977 CAPLUS
 - (2) Beiersdorf Aktiengesellschaft; EP 0062844 A1 1982 CAPLUS
 - (3) Buscemi; Journal of Heterocyclic Chemistry 1988, V25(3), P931 CAPLUS
 - (5) Gund; Tetrahedron Letters 1972, 38, P3983 CAPLUS
 - (6) Hamanaka; US 3972872 A 1976 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2001 ACS

AN 1999:13150 CAPLUS

DN 130:153238

TI Solid-phase synthesis of N-acyl-N'-carbamoylguanidines

AU Lin, Peishan; Ganesan, A.

CS Institute of Molecular and Cell Biology, National University of Singapore,

Singapore, 117609, Singapore

SO Tetrahedron Lett. (1998), 39(52), 9789-9792

CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science Ltd.

DT Journal

LA English

AB Amino acids immobilized on polystyrene-Wang or Rink amide resin were reacted with p-nitrophenyl chloroformate to give an activated urethane that was displaced by S-methylisothiurea. Following N-acylation with an

acid chloride, the thiomethyl group was displaced by primary or secondary amines with the aid of mercury (II) chloride to yield the unsym. substituted title compds. after resin cleavage.

IT 220292-80-8P

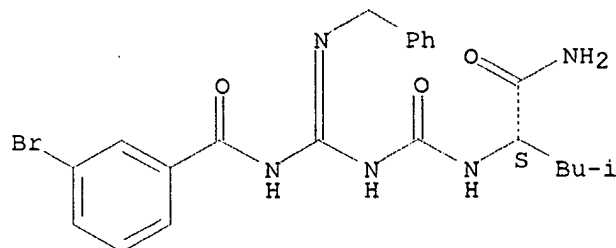
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of N-acyl-N'-carbamoylguanidines)

RN 220292-80-8 CAPLUS

CN Benzamide,

N-[[[[(1S)-1-(aminocarbonyl)-3-methylbutyl]amino]carbonyl]amin
o][(phenylmethyl)amino]methylene]-3-bromo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 21

RE

- (5) Berlinck, R; Fortschr Chem Org Naturst 1995, V66, P119 CAPLUS
- (6) Berlinck, R; Nat Prod Rep 1996, V13, P377 CAPLUS
- (8) Chandrakumar, N; Synth Commun 1996, V26, P2613 CAPLUS
- (9) Dodd, D; Tetrahedron Lett 1998, V39, P5701 CAPLUS
- (10) Drewry, D; Tetrahedron Lett 1997, V38, P3377 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2001 ACS

AN 1998:791584 CAPLUS

DN 130:124871

TI Solid phase synthesis of oligomeric guanidiniums

AU Schneider, Stephen E.; Bishop, Patricia A.; Salazar, Mary Alice; Bishop, Owen A.; Anslyn, Eric V.

CS Department of Chemistry and Biochemistry, The University of Texas at Austin, Austin, TX, 78712, USA

SO Tetrahedron (1998), 54(50), 15063-15086

CODEN: TETRAB; ISSN: 0040-4020

PB Elsevier Science Ltd.

DT Journal

LA English

AB Oligomers contg. guanidinium linkages prepd. via solid phase org. synthesis are of interest as possible therapeutic agents and in the assembly of supramol. architectures. Efficient routes to these oligomers must be developed before their potential may be fully realized. Herein, four routes for their stepwise solid phase synthesis are described. In the first, a resin-bound thiourea was converted to a guanidinium using 2-chloro-1-methylpyridinium iodide. The second method utilized

aza-Wittig

couplings to prep. guanidiniums from resin-bound carbodiimides. Next, highly activated monomers prepd. from bis-tert-butyloxycarbonylthioureas and 2,4-dinitrofluorobenzene formed guanidiniums upon reaction with terminal amines. The optimum route, however, relied upon the 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride promoted coupling of a protected thiourea monomer with a resin-bound amine to produce the guanidinium linkage. The thiourea monomers for this method are easily prepd. from mono-protected diamines and benzoyl or Fmoc isothiocyanate. The procedure is straightforward proceeds cleanly in a

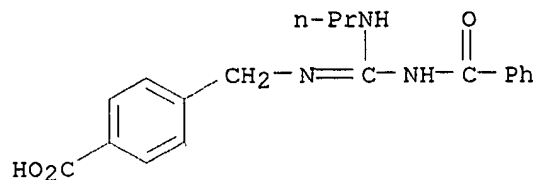
relatively short period of time, and is compatible with several functional groups.

IT 219800-73-4P 219800-75-6P 219800-77-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(solid phase synthesis of oligomeric guanidinium compds.)

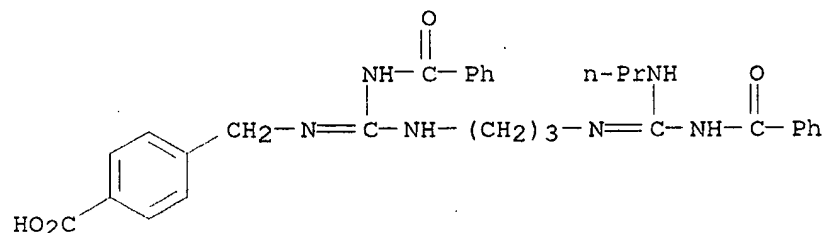
RN 219800-73-4 CAPLUS

CN Benzoic acid, 4-[[[(benzoylamino)(propylamino)methylene]amino]methyl]-
(9CI) (CA INDEX NAME)



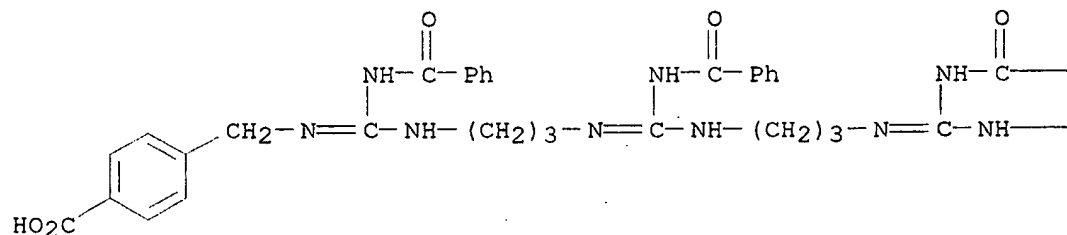
RN 219800-75-6 CAPLUS

CN Benzoic acid,
4-[3,9-bis(benzoylamino)-2,4,8,10-tetraazatrideca-2,8-dien-1-yl]- (9CI) (CA INDEX NAME)



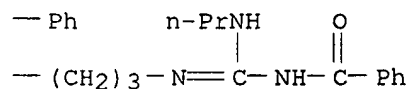
RN 219800-77-8 CAPLUS

CN Benzoic acid, 4-[3,9,15,21-tetrakis(benzoylamino)-2,4,8,10,14,16,20,22-octaazapentacosa-2,8,14,20-tetraen-1-yl]- (9CI) (CA INDEX NAME)



PAGE 1-A

PAGE 1-B



RE

- (1) Albert, J; Bioorg Med Chem 1997, V5, P1455 CAPLUS
 - (2) Appella, D; J Am Chem Soc 1996, V118, P13071 CAPLUS
 - (3) Appella, D; Nature 1997, V387, P381 CAPLUS
 - (4) Astles, P; Bioorg Med Chem Lett 1997, V7, P907 CAPLUS
 - (5) Baird, E; J Am Chem Soc 1996, V118, P6141 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2001 ACS

AN 1993:147506 CAPLUS

DN 118:147506

TI Synthesis and histamine H2 agonistic activity of arpromidine analogs: replacement of the pheniramine-like moiety by non-heterocyclic groups.

AU Buschauer, A.; Friese-Kimmel, A.; Baumann, G.; Schunack, W.

CS Inst. Pharm., Freie Univ. Berlin, Berlin, W-1000/33, Germany

SO Eur. J. Med. Chem. (1992), 27(4), 321-30

CODEN: EJMCA5; ISSN: 0223-5234

DT Journal

LA English

OS CASREACT 118:147506

AB Analogs of the potent histamine H2 agonist arpromidine (I), characterized by nonheterocyclic groups (Ph, cyclohexyl, alkyl) instead of the pheniramine-like portion, were prepd. and tested for their H2 agonistic and H1 antagonistic activity in the isolated guinea pig right atrium and ileum, resp. In the diphenylpropylguanidine series, an increase in H2 agonistic potency resulted from mono- or difluorination at one or both Ph rings in the meta and/or para position (pD2 .ltoreq. 7.75 vs pD2 = 7.15 for the unsubstituted parent compd.). Compds. chlorinated at both Ph rings were considerably less potent. Highest combined H2 agonistic/H1 antagonistic potency was found in the 4-fluorophenyl series. The arpromidine analog with cyclohexyl and Me group instead of Ph and pyridine

ring was 30 times more potent than histamine in the atrium. The H1 antagonistic potency in cyclohexyl compds. was lower than in the diaryl series. Thus, arom. rings appear not to be required for high H2 agonistic potency but are useful for combined H2 agonistic/H1 antagonistic activity.

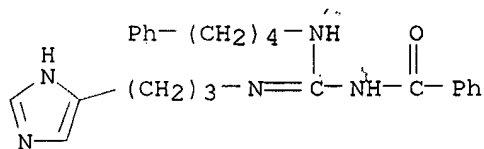
IT 106668-78-4P 144290-35-7P 144290-36-8P

144290-37-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and debenzoylation of)

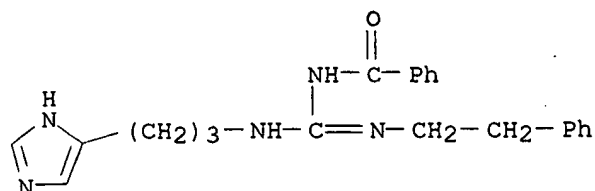
RN 106668-78-4 CAPLUS

CN Benzamide, N-[[[3-(1H-imidazol-4-yl)propyl]amino] [(4-phenylbutyl)amino]methylene]- (9CI) (CA INDEX NAME)

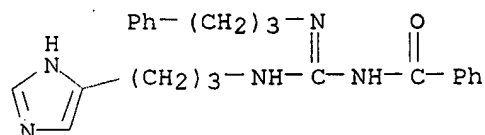


RN 144290-35-7 CAPLUS

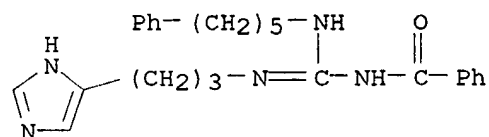
CN Benzamide, N-[[[3-(1H-imidazol-4-yl)propyl]amino] [(2-phenylethyl)amino]methylene]- (9CI) (CA INDEX NAME)



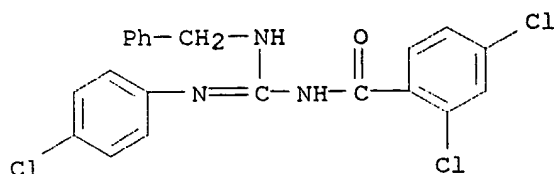
RN 144290-36-8 CAPLUS
 CN Benzamide, N-[[[3-(1H-imidazol-4-yl)propyl]amino] [(3-phenylpropyl)amino]methylene]- (9CI) (CA INDEX NAME)



RN 144290-37-9 CAPLUS
 CN Benzamide, N-[[[3-(1H-imidazol-4-yl)propyl]amino] [(5-phenylpentyl)amino]methylene]- (9CI) (CA INDEX NAME)



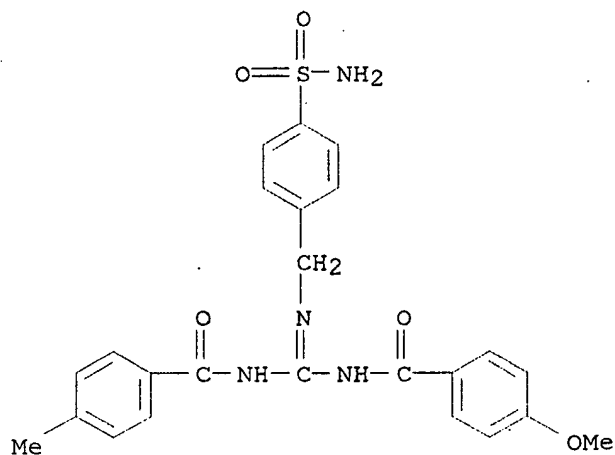
L5 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2001 ACS
 AN 1991:429165 CAPLUS
 DN 115:29165
 TI Synthesis and reaction of 2-imino-1,3-thiazetidines and 2-imino-1,3-dithietanes
 AU Okajima, Nobuyuki; Okada, Yoshiyuki
 CS Plant Protect. Res. Lab., Takeda Chem. Ind. Co., Ltd., Osaka, 532, Japan
 SO J. Heterocycl. Chem. (1991), 28(1), 177-85
 CODEN: JHTCAD; ISSN: 0022-152X
 DT Journal
 LA English
 OS CASREACT 115:29165
 AB 2-Imino-1,3-thiazetidines and 2-imino-1,3-dithietanes were synthesized and their reactivities were studied. The former readily underwent ring-opening reaction with amines to yield guanidine derivs. The reaction products were applied to the synthesis of heterocycles such as triazoles and triazines. The latter was converted to isothiocyanates by the reaction of m-chloroperbenzoic acid. Thus, the thiazetidine I, prep'd. in quant. yield from 2,4-Cl₂C₆H₃CONHC(S)NHC₆H₄Cl-4 and CH₂I₂, was treated with HN:C(SMe)NH₂.1/2H₂SO₄ to give the triazine II in 85% yield.
 IT 133476-45-6P
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
 RN 133476-45-6 CAPLUS
 CN Benzamide, 2,4-dichloro-N-[[[(4-chlorophenyl)amino] [(phenylmethyl)amino]methylene]- (9CI) (CA INDEX NAME)



L5 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2001 ACS
 AN 1991:185043 CAPLUS
 DN 114:185043
 TI Preparation of guanidinedicarbonyl derivatives as anxiolytics
 IN Tomcufcik, Andrew S.; Dixon, James S.; Epstein, Joseph W.; Birnberg, Gary H.; Fanshawe, William J.
 PA American Cyanamid Co., USA
 SO U.S., 22 pp. Cont.-in-part of U.S. Ser. No. 860,406, abandoned.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4977189	A	19901211	US 1988-217518	19880711
				US 1986-860406	19860507

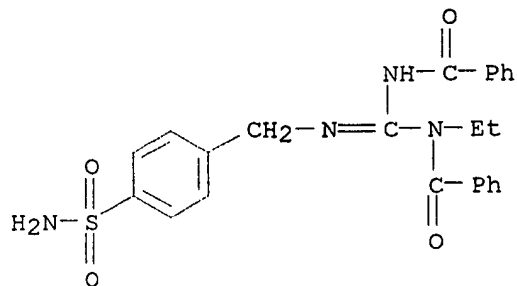
OS MARPAT 114:185043
 AB The title compds. [I; R1 = C1-10 alkyl, biphenyl, phenylalkyl, phenoxyalkyl, naphthyl, adamantyl, C5-7 cycloalkenyl, (substituted) Ph, etc.; R2 = dialkylamino C1-3 alkyl, (substituted) Ph or benzyl; R3 = H, C1-6 alkyl; R4 = H, halo, CF3, NO2, C1-6 alkyl, C1-3 alkoxy], anxiolytics useful in the treatment of hypoxia and amnesia, were prepd. For example, an equimolar mixt. of 3-MeC6H4CON:C(SMe)NHCOC6H4Me-4 (prepn. from 3-MeC6H4CON:C(SMe)NH2.cntdot.HI and 4-MeC6H4COCl given) and 4-NH2C6H4CONH2 in Me2CHOH was refluxed 14 h to give title compd. I (R1 = 3-MeC6H4, R2 = 4-H2NCOC6H4, R3 = H, R4 = 4-Me) (II). The latter in rats inhibited 3H-benzodiazepine binding to brain-specific receptors by 85%.
 IT 133244-52-7P 133244-53-8P 133278-52-1P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as anxiolytic)
 RN 133244-52-7 CAPLUS
 CN Benzamide, N-[[[4-(aminosulfonyl)phenyl]methyl]amino][(4-methoxybenzoyl)amino]methylene]-4-methyl- (9CI) (CA INDEX NAME)



RN 133244-53-8 CAPLUS

CN Benzamide,

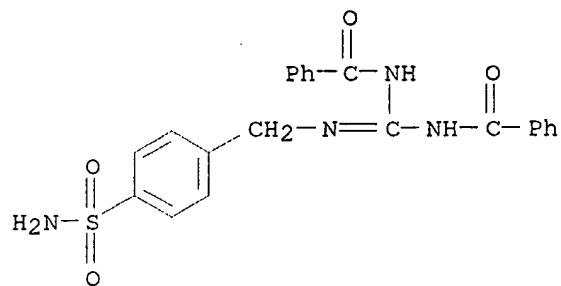
N-[[[4-(aminosulfonyl)phenyl)methyl]amino](benzoylimino)methyl
]-N-ethyl- (9CI) (CA INDEX NAME)



RN 133278-52-1 CAPLUS

CN Benzamide, N,N'-[[[4-(aminosulfonyl)phenyl)methyl]carbonimidoyl]bis-
(9CI)

(CA INDEX NAME)



L5 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2001 ACS

AN 1989:231237 CAPLUS

DN 110:231237

TI Action of amines on 1-benzoyl-2-thiobiuret and its 5-phenyl derivative

AU Fouli, F. A.; Shaban, M. E.; Youssef, A. S. A.

CS Fac. Sci., Ain Shams Univ., Cairo, Egypt

SO Egypt. J. Chem. (1987), Volume Date 1986, 29(4), 453-7

CODEN: EGJCA3; ISSN: 0367-0422

DT Journal

LA English

AB Treatment of BzNHCSNHCONHR (I, R = H) with BuNH2 gave cyclized product II along with substitution product BzNHC(NHR1):NCONHR (III, R = H, R1 = Bu). Treatment of I (R = H, Ph) with PhCH2NH2 gave III (R = H, Ph; R1 =

CH2Ph), while reaction of I (R = Ph) with BuNH2 gave B2NHBu and H2NCSNHCONHPh. Thiol tautomers of I were also isolated in all reactions.

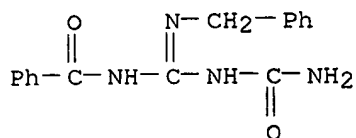
IT 120781-38-6P 120781-39-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

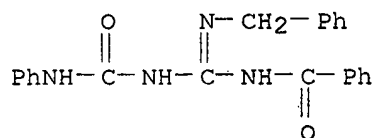
RN 120781-38-6 CAPLUS

CN Benzamide, N-[[[4-(aminocarbonyl)amino][(phenylmethyl)amino]methylene]-
(9CI)

(CA INDEX NAME)



RN 120781-39-7 CAPLUS
 CN Benzamide,
 N-[[[(phenylamino)carbonyl]amino][(phenylmethyl)amino]methylene
]- (9CI) (CA INDEX NAME)



L5 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2001 ACS
 AN 1987:84609 CAPLUS
 DN 106:84609
 TI (Imidazolylalkyl)guanidines and their use as histamine H1 antagonists and
 H2 agonists
 IN Buschauer, Armin; Schickanedar, Helmut; Schunack, Walter; Elz, Sigurd;
 Szelenyi, Istvan; Baumann, Gert; Ahrens, Kurt Henning
 PA Heumann Pharma G.m.b.H. und Co., Fed. Rep. Ger.
 SO Eur. Pat. Appl., 209 pp.
 CODEN: EPXXDW
 DT Patent
 LA German
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 199845	A1	19861105	EP 1985-114205	19851107
	EP 199845	B1	19900801		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
				DE 1985-3512084	19850402
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				DE 1985-3528215	19850806
	DE 3512084	A1	19861009	DE 1985-3512084	19850402
	DE 3528214	A1	19870212	DE 1985-3528214	19850806
	DE 3528215	A1	19870212	DE 1985-3528215	19850806
	AT 55126	E	19900815	AT 1985-114205	19851107
				DE 1985-3512084	19850402
				DE 1985-3528214	19850806
				DE 1985-3528215	19850806
				EP 1985-114205	19851107

PATENT FAMILY INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3512084	A1	19861009	DE 1985-3512084	19850402
	EP 199845	A1	19861105	EP 1985-114205	19851107
	EP 199845	B1	19900801		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
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				DE 1985-3528215	19850806
	AT 55126	E	19900815	AT 1985-114205	19851107
				DE 1985-3512084	19850402

HU 41392 A2 19870428
 HU 198024 B 19890728

DK 8505388 A 19861003
 DK 165367 B 19921116
 DK 165367 C 19930405

IL 77492 A1 19911215

ZA 8600006 A 19860827

AU 8651828 A1 19861009
 AU 589586 B2 19891019

CA 1266657 A1 19900313

ES 550875 A1 19880401

JP 61236771 A2 19861022

ES 557691 A1 19880301

ES 557692 A1 19880301

ES 557693 A1 19880301

US 5021431 A 19910604

DE 1985-3528214 19850806
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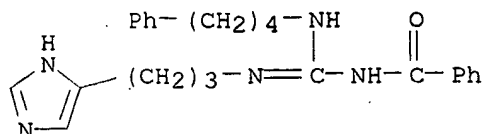
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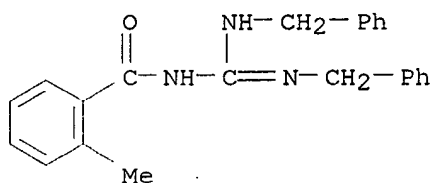
AB The title compds. I [R = substituted Ph, naphthyl, pyridinyl, thiazolyl, imidazolyl; R1 = H, Bz; R2 = H, Me; Z = (un)substituted alkylene, oxaalkylene, azaalkylene, thiaalkylene, etc.; n = 2, 3] were prepd. for treatment of heart and circulatory system disorders. Thus, 2-[[2-[(dimethylamino)methyl]-5-methylimidazol-4-yl]methyl]thio]ethylamine, 3-imidazol-4-ylpropylamine, and BzN:C(OPh)2 were stirred together in MeCN to give 10% benzoylguanidine II (R3 = Bz). This was debenzoylated by refluxing in aq. HCl to give 95% II.4HCl (R3 = H) (III). III is a histamine H1 receptor antagonist (pA2 = 5.50) and an

H2 receptor agonist (pD2 = 7.17) in isolated guinea pig ileum and atrium preps., resp.

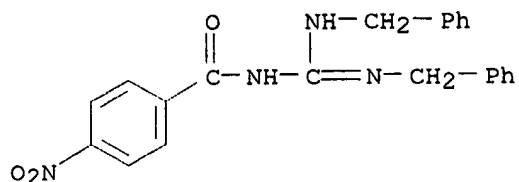
IT 106668-78-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antihistaminic and cardiovascular agent)
RN 106668-78-4 CAPLUS
CN Benzamide, N-[[[3-(1H-imidazol-4-yl)propyl]amino][(4-phenylbutyl)amino]methylene]- (9CI) (CA INDEX NAME)



L5 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2001 ACS
AN 1986:552653 CAPLUS
DN 105:152653
TI The reaction of dimethyl N-benzoylcarbonimidodithioates with amines
AU Fukada, Naoaki; Hayashi, Masahiro; Suzuki, Yukari
CS Fac. Sci., Chiba Univ., Chiba, 260, Japan
SO Bull. Chem. Soc. Jpn. (1985), 58(11), 3379-80
CODEN: BCSJA8; ISSN: 0009-2673
DT Journal
LA English
OS CASREACT 105:152653
AB RCON:C(SMe)2 (I; R = 2-MeC6H4, 4-O2NC6H4) reacted with R1R2NH (R1 = PhCH2, Ph; R2 = H; R1R2 = CH2CH2OCH2CH2) in EtOH to give 55-97%
RCON:C(SMe)NR1R2.
I reacted with R1R2NH in refluxing xylene to give 57-84% RCON:C(NR1R2)2.
Similarly 94-96% imidazolidines II and 63% oxazolidine III were prepd. by treating I with H2NCH2CH2NH2 and H2NCH2CH2OH, resp.
IT 104496-52-8P 104496-56-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 104496-52-8 CAPLUS
CN Benzamide, N-[bis[(phenylmethyl)amino]methylene]-2-methyl- (9CI) (CA INDEX NAME)



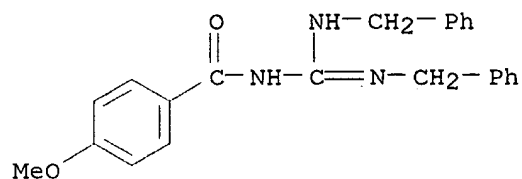
RN 104496-56-2 CAPLUS
CN Benzamide, N-[bis[(phenylmethyl)amino]methylene]-4-nitro- (9CI) (CA INDEX NAME)



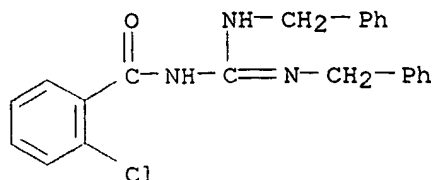
L5 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2001 ACS
 AN 1984:138782 CAPLUS
 DN 100:138782
 TI N-Aroyl- and heteroaryl imides
 IN Augustin, Manfred; Richter, Monika; Strauss, Karin
 PA Ger. Dem. Rep.
 SO Ger. (East), 10 pp.
 CODEN: GEXXA8
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DD 200618	Z	19830525	DD 1981-233863	19811005

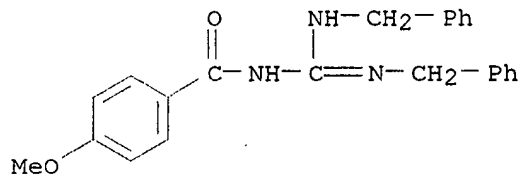
AB RCON:CR1R2 [R = halo (un)substituted Ph, PhCH:CH, furylvinyl, furyl, pyridyl, thienyl; R1, R2 = SH, MeS, C1-5 alkylamino, arylamino, (un)substituted aryl, PhCH2NH, cyclohexylamino] were prepd. by treating RCONH2 with isothiocyanates [to give RCON:C(SX)NHY [X = H, Me, C1-5 alkyl, nuclear halo (un)substituted PhCOCH2, Y = C1-5 alkyl, halo (un)substituted aryl, cyclohexyl, PhCH2] or with carbodiimides [to give RCON:C(NHY)2]. Successively treating 2-pyridinecarboxamide in DMF or Me2SO with NaH under N2, PhNCO with 4 h stirring, and with MeI in DMF gave pyridinecarboximide I. The carboximides are possible candidates for protective agents (no further information).
 IT 74074-33-2P 88241-07-0P
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
 RN 74074-33-2 CAPLUS
 CN Benzamide, N-[bis[(phenylmethyl)amino]methylene]-4-methoxy- (9CI) (CA INDEX NAME)



RN 88241-07-0 CAPLUS
 CN Benzamide, N-[bis[(phenylmethyl)amino]methylene]-2-chloro- (9CI) (CA INDEX NAME)



L5 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2001 ACS
 AN 1980:426307 CAPLUS
 DN 93:26307
 TI Reactions with N-acylimino dithiocarbonic acid diesters
 AU Augustin, M.; Richter, M.; Salas, S.
 CS Sek. Chem., Martin-Luther-Univ. Halle-Wittenberg, Halle/Saale, DDR-4020, Ger. Dem. Rep.
 SO J. Prakt. Chem. (1980), 322(1), 55-68
 CODEN: JPCEAO; ISSN: 0021-8383
 DT Journal
 LA German
 AB RC6H4CON:C(SMe)2 (I; R = H, 2-Cl, 4-MeO, 4-NO2), prep. by the methylation of RC6H4CONHCS2Me, reacted with nucleophiles to give heterocycles. Thus, reaction of I with 2-HZC6H4NH2 (Z = O, S, NH) gave II and with H2N(CH2)nNH2 (n = 2, 3, 4, 6) gave III or [RC6H4CON:C(SMe)NH]2(CH2)6. I (R = H), reacted with hydrazines, or BzNHNH2, to give IV (R1 = H, Ph), RC6H4CON:C(SMe)NHNHBz, or V. Reaction of I with guanidines, (H2N)2CS or its salts, or amidine gave the triazines VI (R = H, 2-Cl, 4-OMe; R2 = SMe, OEt; R3 = NH2, SH, Ph, SMe). BzCONHCS2Me reacted with CH-acidic compds. to give the thiazoles VII (R4 = Ph, Bz, COC6H4Br-4).
 IT 74074-33-2P
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
 RN 74074-33-2 CAPLUS
 CN Benzamide, N-[bis[(phenylmethyl)amino]methylene]-4-methoxy- (9CI) (CA INDEX NAME)



L5 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2001 ACS
 AN 1972:563827 CAPLUS
 DN 77:163827
 TI Novel reaction of guanidine with benzaldehydes
 AU Gund, P.; Berkelhammer, G.; Wayne, R. S.
 CS Chem. Res. Dev. Lab., Am. Cyanamid Co., Princeton, N. J., USA
 SO Tetrahedron Lett. (1972), (38), 3983-6
 CODEN: TELEAY
 DT Journal
 LA English
 AB ArCHO (Ar = p-Cl- or p-Me-C6H4, or Ph) with (NH2)2C:NH.0.5H2CO3 in MeONa-EtOH gave, on treatment with concd. HCl, ArCONHC(:NH.HCl)-NHCH2Ar, ArCO2H, and Arch2OH. The mechanism may in-volve successive formation of Arch:OC(:NH)NH2 and Arch:-NC(:NH)NHCH(OH)Ar (I) with subsequent intramol.

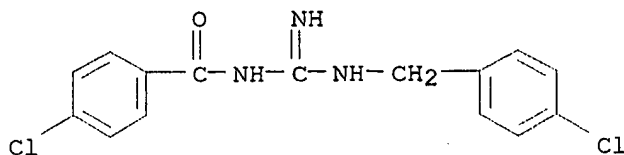
hydride shift in the oxyanion of I.

IT 38570-12-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 38570-12-6 CAPLUS

CN Benzamide, 4-chloro-N-[[[(4-chlorophenyl)methyl]amino]iminomethyl]-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L5 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2001 ACS

AN 1971:420936 CAPLUS

DN 75:20936

TI Guanidines. VII. Guanidilation of amino acids by N-acyl+pseudoureas

AU Nowak, Kornel

CS Akad. Med., Wroclaw, Pol.

SO Roczn. Chem. (1970), 44(10), 1905-10

CODEN: ROCHAC

DT Journal

LA Polish

AB In this abstr. Z = PhCH₂O₂C. Reaction of ZNHC(SMe):NH (I) or
ZCONHC(OMe):NH with amines, amino acids, or amino acid amides gave
substituted guanidines, R₁ CH:C(NHR₂)NHR₃ (II, R₁ = H or Bz; R₂ = Z, Bz,
or PhCH₂; R₃ = PhCH₂, Ph(CH₂)₂, CH₂CO₂H, etc.). I reacted with amino
acid

esters to give 2-imino-4-imidazolidinones (III) or their isomers (IV).
Reaction of H₂NCH₂CONHCH₂Ph with ZNHCH(CH₂Ph)CONHC(SMe):NZ in MeOH gave
ZNHCH(CH₂Ph)CO₂Me and ZNHC(:NH)NHCH₂CONHCH₂Ph. Thus, I was refluxed with
PhCH₂NH₂.HCl in EtOH and the product treated with NEt₃ to give II (R₁ =

H,

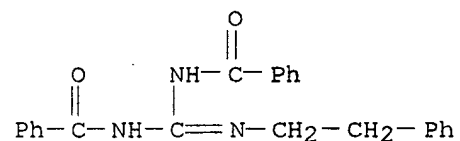
R₂ = Z, R₃ = PhCH₂). I was heated with H₂NCH₂CO₂Et.HCl in MeOH to give
III or IV (R = H). Similarly prepd. was III (R = Me).

IT 22102-74-5P 23121-41-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

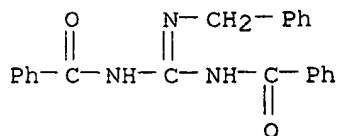
RN 22102-74-5 CAPLUS

CN Guanidine, 1,2-dibenzoyl-3-phenethyl- (8CI) (CA INDEX NAME)

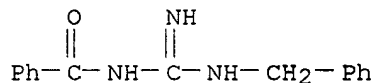


RN 23121-41-7 CAPLUS

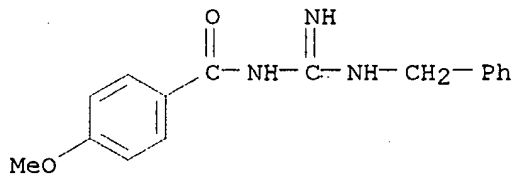
CN Guanidine, 1,2-dibenzoyl-3-benzyl- (8CI) (CA INDEX NAME)



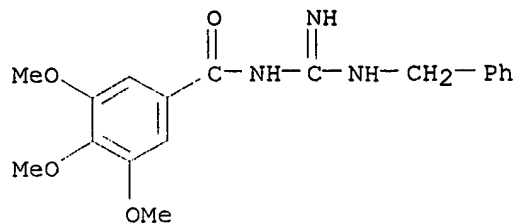
L5 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2001 ACS
 AN 1971:136938 CAPLUS
 DN 74:136938
 TI Antituberculous activity of guanidine derivatives
 AU Malyuga, O. A.; Galanova, R. Ya.; Petrenko, G. M.; Mukhina, N. A.; Semukhina, G. V.
 CS Novokuznetsk. Nauchno-Issled. Khim.-Farm. Inst., Novokuznetsk, USSR
 SO Khim.-Farm. Zh. (1971), 5(3), 12-16
 CODEN: KHFZAN
 DT Journal
 LA Russian
 AB 1-Isonicotinamidoguanidine, 1-benzyl-3-cinnamoylguanidine, 1-benzyl-3-(4-methoxybenzoyl)-guanidine, and 1-benzyl-3-(4-bromobenzoyl)guanidine had the strongest bacteriostatic activity of 25 guanidine derivs. (I) tested against Mycobacterium tuberculosis strains H37Rv and Academia. The tuberculostatic activity of the compds. was not affected in the presence of 10% normal horse serum. None of the guanidine derivs. tested had any significant inhibitory effect on the growth of M. tuberculosis strain Avium P.
 IT 18787-57-0 18787-58-1 18787-59-2
 32451-27-7 32514-44-6 32514-45-7
 RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (antitubercular activity of)
 RN 18787-57-0 CAPLUS
 CN Benzamide, N-[imino[(phenylmethyl)amino]methyl]- (9CI) (CA INDEX NAME)



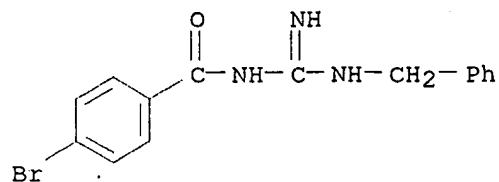
RN 18787-58-1 CAPLUS
 CN Benzamide, N-[imino[(phenylmethyl)amino]methyl]-4-methoxy- (9CI) (CA INDEX NAME)



RN 18787-59-2 CAPLUS
 CN Benzamide, N-[imino[(phenylmethyl)amino]methyl]-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)

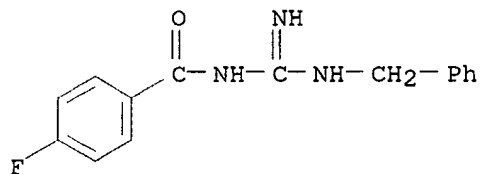


RN 32451-27-7 CAPLUS
 CN Benzamide, N-(benzylamidino)-p-bromo-, monohydrochloride (8CI) (CA INDEX
 NAME)



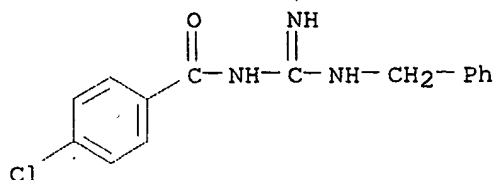
● HCl

RN 32514-44-6 CAPLUS
 CN Benzamide, N-(benzylamidino)-p-fluoro-, monohydrochloride (8CI) (CA
 INDEX
 NAME)



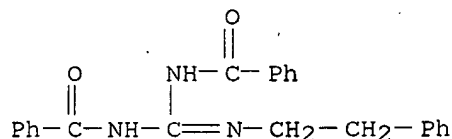
● HCl

RN 32514-45-7 CAPLUS
 CN Benzamide, N-(benzylamidino)-p-chloro-, monohydrochloride (8CI) (CA
 INDEX
 NAME)

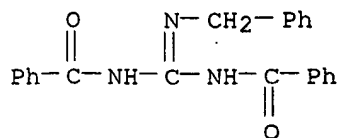


● HCl

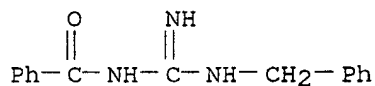
L5 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2001 ACS
 AN 1969:115526 CAPLUS
 DN 70:115526
 TI Guanidine derivatives of amino acids and their derivatives by
 N-acyl-S-methylisothiourea
 AU Nowak, Kornel
 CS Akad. Med. Wroclaw, Wroclaw, Poland
 SO Roczn. Chem. (1969), 43(1), 231-2
 CODEN: ROCHAC
 DT Journal
 LA Polish
 AB N-(N-Benzoyl-DL-phenylalanyl)-, N-carbobenzoxo-, N-benzoyl-,
 N,N'-dicarbobenzoxo-, N,N'-dibenzoyl-S-methylisothioureas, and
 N-carbobenzoxo-, N-benzoyl-O-methylisoureas were used for guanidylation
 of
 benzylamine, 2-phenylethylamine, NH₃, amino acids, and their amides. The
 following compds. were reported (compd., m.p., and % yield given):
 N-carbobenzoxo-N'-(2-phenylethyl)guanidine, 104.degree., 44;
 N-carbobenzoxo-N'-benzylguanidine, 167.degree., 57; N-(N-
 carbobenzoxoamidino)glycylbenzylamine, 160.degree., 81;
 N-[N-(N-benzoyl-DL-phenylalanyl)amidino]glycylbenzylamine, 95.degree.,
 63;
 N,N'-dibenzoyl-N'-(2-phenylethyl)guanidine, 126-7.degree., 76;
 N,N'-dibenzoyl-N'-benzylguanidine, 161.degree., 81; N-carbobenzoxo-guani-
 dine, 147-8.degree., 90; N-(N-carbobenzoxoamidino)-DL-phenylalanine,
 161.degree., 87; N-(N-carbobenzoxoamidino)glycine, >240.degree., 70;
 N-(N-benzoylamidino)glycine, >320.degree., 41.
 IT 22102-74-5P 23121-41-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 22102-74-5 CAPLUS
 CN Guanidine, 1,2-dibenzoyl-3-phenethyl- (8CI) (CA INDEX NAME)



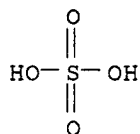
RN 23121-41-7 CAPLUS
 CN Guanidine, 1,2-dibenzoyl-3-benzyl- (8CI) (CA INDEX NAME)



L5 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2001 ACS
 AN 1969:3394 CAPLUS
 DN 70:3394
 TI Synthesis and pharmacological properties of some acyl derivatives of benzylguanidine
 AU Semukhina, G. V.; Sharmova, Z. I.; Mikhailova, T. V.; Mukhina, N. A.; Gilev, A. P.
 CS Novokuznetsk. Nauch.-Issled. Khim.-Farm. Inst., Novokuznetsk, USSR
 SO Khim.-Farm. Zh. (1968), 2(8), 22-5
 CODEN: KHFZAN
 DT Journal
 LA Russian
 AB Seven pharmacol. active N-benzyl-N'-acylguanidines [PhCH₂-NHC(:NH.HX)NHR] (I) were synthesized by refluxing 0.01 mole benzylguanidine sulfate and 0.04 mole of the corresponding acid chloride 6-12 hrs. at 80-100.degree.. The I prepd. were (R, HX, m.p., and % yield given): Bz, H₂SO₄, 217-18.degree., 60.5; 4-MeOC₆H₄CO, H₂SO₄, 181-3.degree., 82.2; 3,4,5-(MeO)₃C₆H₂CO, H₂SO₄, 235-6.degree., 50.8; p-MeC₆H₄SO₂, H₂SO₄, 178-80.degree., 52.3; valeroyl, HCl, 220-2.degree., 69.9; isoveleroyl, H₂SO₄, 168-70.degree., 54.5; Me₃CCO, HCl, 137-9.degree., 50.
 IT 20801-63-2P 20801-64-3P 20801-65-4P
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
 RN 20801-63-2 CAPLUS
 CN Benzamide, N-(benzylamidino)-, sulfate (2:1) (8CI) (CA INDEX NAME)
 CM 1
 CRN 18787-57-0
 CMF C15 H15 N3 O

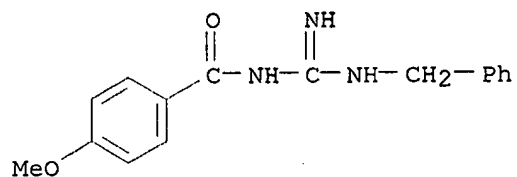


CM 2
 CRN 7664-93-9
 CMF H2 O4 S



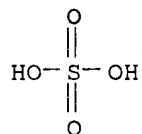
RN 20801-64-3 CAPLUS
 CN p-Anisamide, N-(benzylamidino)-, sulfate (2:1) (8CI) (CA INDEX NAME)
 CM 1

CRN 18787-58-1
CMF C16 H17 N3 O2



CM 2

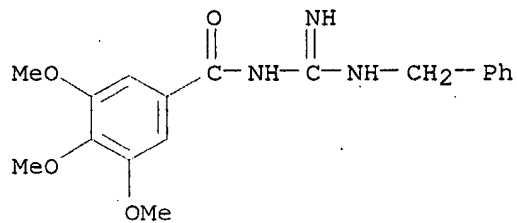
CRN 7664-93-9
CMF H2 O4 S



RN 20801-65-4 CAPLUS
CN Benzamide, N-(benzylamidino)-3,4,5-trimethoxy-, sulfate (2:1) (8CI) (CA INDEX NAME)

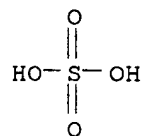
CM 1

CRN 18787-59-2
CMF C18 H21 N3 O4



CM 2

CRN 7664-93-9
CMF H2 O4 S



L5 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2001 ACS

AN 1967:500033 CAPLUS

DN 67:100033

TI Preparation and reactions of

N-(C-chloro-S-chlorothiomethylene)carboxamide
s and their derivatives

AU Neidlein, Richard; Haussmann, Walter

CS Inst. Pharm. Chem. Lebensmittelchem., Univ., Marburg/Lahn, Ger.

SO Arch. Pharm. Ber. Dtsch. Pharm. Ges. (1967), 300(7), 609-15

CODEN: APBDAJ

DT Journal

LA German

AB Passing Cl 3 hrs. at room temp. into a soln. of 5.1 g.

o-MeOC6H4CONHC(S)SEt in 150 cc. CH2Cl2 and distg. the residue gave 87%

o-MeOC6H4CONCl2 (I), b0.02 97-100.degree., oil; likewise obtained was 86%

of the meta isomer of I, b0.01 79-81.degree.. Mixing equimolar solns. of

BzNCS and Cl in CCl4, followed by storage in a stoppered flask gave after

several weeks 68% RN:C(SCl)Cl (R = Bz) (II), m. 84-6.degree.

(cyclohexane). Similarly prepd. were III (R, % yield, and m.p. given):

p-tolyl, 63, 96-7.degree.; p-ClC6H4, 70, 115-16.degree.. Stirring 2.34

g.

II in 60 cc. C6H6 with 4.29 g. o-toluidine 6 hrs. at room temp. gave 93%

RCON:C(NHR1)SNHR1 (III) (R = Ph, R1 = o-MeC6H4), m. 120-1.degree..

Similarly prepd. were III (R, R1, % yield, and m.p. given): p-MeC6H4,

C6H11, 83, 206-7.degree.; p-ClC6H4, p-MeC6H4, 90, 215-16.degree.. To a

suspension of 0.8 g. finely powd. NaOH in a mixt. of 0.86 g. (CH2NH2)2 in

10 cc. C6H6 was added dropwise with ice-cooling 2.02 g. BzCONCl2 (IV) in

10 cc. C6H6 to give after 2 hrs. stirring at room temp. 88%

N-(bis(ethylenimino)methylene)benzamide, m. 119-21.degree. (Et2O).

Treatment of Br2C:NN:CBBr2 and 1.6 g. NaOH in 20 cc. tetrahydrofuran with

3.44 g. ethylenimine gave under similar conditions 68%

tetra(1-aziridiny1)-2,3-diazabutadiene, m. 134-5.degree. (AcOEt).

PhCH2NH2 (4.29 g.) and 2.02 g. IV in C6H6 gave 90% RCON:C(NHR1)2 (V) (R =

Ph, R1 = PhCH2), m. 133-4.degree.. Also prepd. were V (R, R1, % yield,

and m.p. given): p-ClC6H4, p-MeC6H4, 77, 143-4.degree.; m-MeOC6H4,

p-MeC6H4, 80, 106-7.degree.; o-MeOC6H4, p-MeC6H4, 83, 159-60.degree.;

p-MeC6H4, PhCH2, 81, 129-30.degree.; p-MeC6H4, cyclohexyl, 83,

140-1.degree.. Solns. of 3.03 g. IV and 1.17 g. CH2OHCH2SH each in 5 cc.

AcOEt were mixed and added to an ice-cold mixt. of 20 cc. pyridine-AcOEt

(1:1). Working up after 2 hrs. stirring gave 77% 2-benzoylimino-1,3-

oxathiole, m. 52-3.degree.. Similarly obtained was 80%

2-(p-chlorobenzoylimino)-1,3-oxathiole, m. 135-6.degree. (EtOH).

Likewise, o-C6H4(OH)2 and IV gave 88% 2-benzoylimino-1,3-benzodioxole

(VI), m. 135-6.degree. (EtOH).

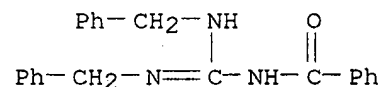
IT 16565-12-1P 16565-24-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 16565-12-1 CAPLUS

CN Benzamide, N-[bis(benzylamino)methylene]- (8CI) (CA INDEX NAME)



RN 16565-24-5 CAPLUS

CN p-Toluamide, N-[bis(benzylamino)methylene]- (8CI) (CA INDEX NAME)

